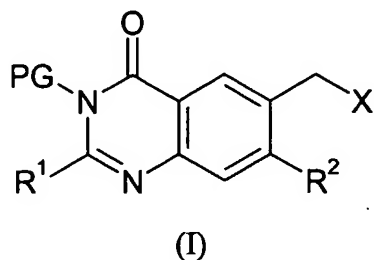


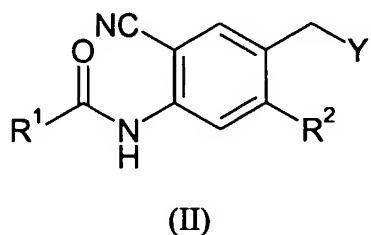
CLAIMS

1. A process for the preparation of a quinazolin-4-one derivative of formula (I):

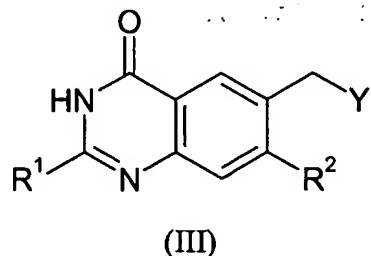


- 5 where R¹ and R² are each independently hydrogen or methyl, PG is a protecting group and X is a leaving group;

including the step of cyclization an amide of formula (II):

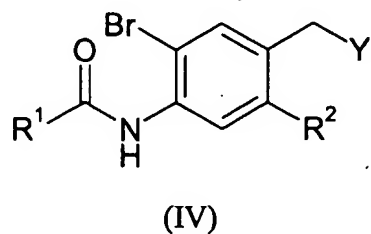


wherein R¹ and R² are as defined above and Y is a leaving group;
or a protected derivative thereof;
to form a quinazolin-4-one derivative of formula (III):



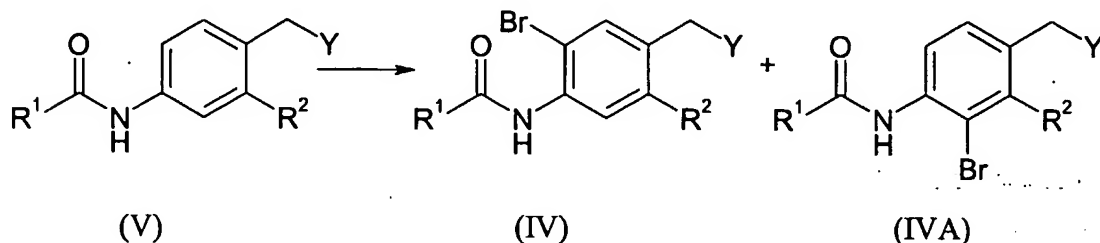
or a protected derivative thereof.

2. A process as claimed in claim 1 wherein the amide of formula (II) is made by reacting a compound of formula (IV):

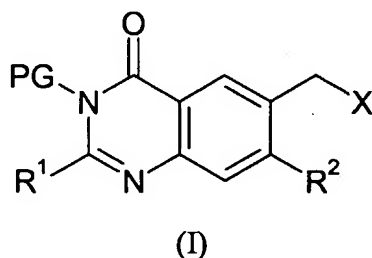


with a cyanide reagent.

3. A process as claimed in claim 2 wherein the compound of formula (IV) is made by a regioselective bromination step from a compound of formula (V) using the reaction step:

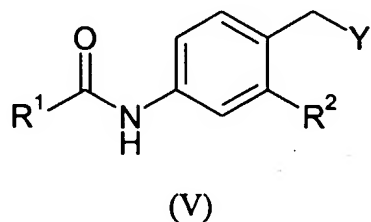


4. A process for the preparation of a quinazolin-4-one derivative of formula (I):



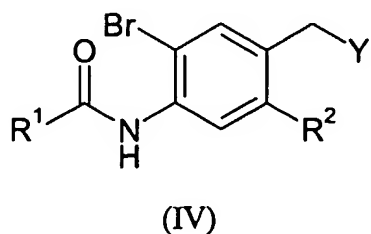
where R¹ and R² are each independently hydrogen or methyl, PG is a protecting group and X is a leaving group;

including the step of brominating a compound of formula (V):



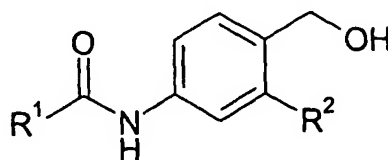
wherein R¹ and R² are as defined above and Y is a leaving group;
or a protected derivative thereof;

to form a compound of formula (IV)



or a protected derivative thereof.

5. A process as claimed in claim 4 wherein the compound of formula (V) is made by derivatization of an alcohol of formula (VI):

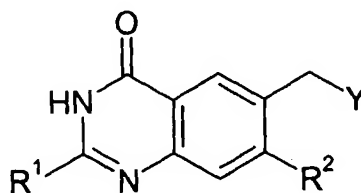


(VI)

6. A process as claimed in any preceding claim wherein at least one of R¹ and R² is methyl.

7. A process as claimed in any claim 6 wherein R¹ and R² are both methyl.

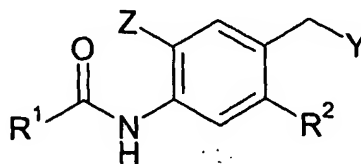
- 10 8. A quinazolin-4-one derivative of formula (III):



(III)

- where R¹ and R² are each independently hydrogen or methyl, and Y is a C₁-4 acyloxy group or benzoyloxy.

9. An amide of formula (VIII):



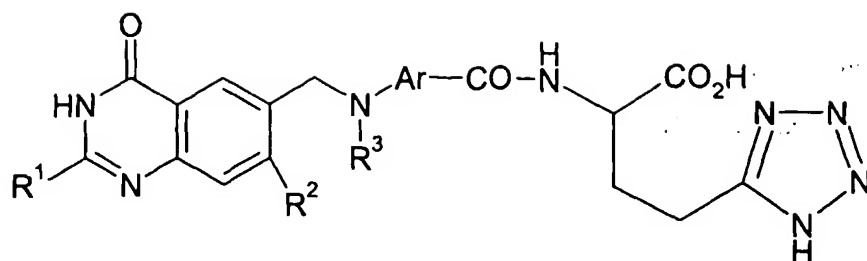
(VIII)

- 20 wherein R¹ and R² are each independently hydrogen or methyl, Y is a C₁-4 acyloxy group or benzoyloxy and Z is Br or CN.

10. A compound as claimed in claim 8 or claim 9 wherein at least one of R¹ and R² is methyl.

11. A compound as claimed in claim 10 wherein R¹ and R² are both methyl.

- 25 12. A process as claimed in any one of claims 1 to 7 wherein the process is used to prepare a quinazoline-4-one of formula (IX):



(IX)

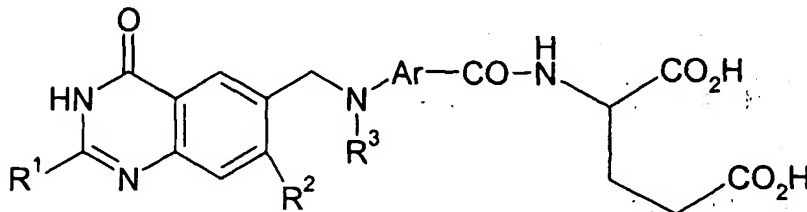
wherein R^1 and R^2 are each independently hydrogen or methyl;

5 R^3 hydrogen, C_{1-4} alkyl, C_{3-4} alkenyl, C_{3-4} alkynyl, C_{2-4} hydroxyalkyl C_{2-4} halogenoalkyl or C_{1-4} cyanoalkyl;

and Ar is phenylene, thiophenediyl, thiazolediyl, pyridinediyl or pyrimidine-diyl which may optionally bear one or two substituents selected from halogeno, hydroxy, amino, nitro, cyano, trifluoromethyl, C_{1-4} alkyl and C_{1-4} alkoxy;

10 or a pharmaceutically-acceptable salt or ester thereof.

13. A process as claimed in any one of claims 1 to 7 wherein the process is used to prepare a quinazoline-4-one of formula (X):



(X)

15 wherein R^1 and R^2 are each independently hydrogen or methyl;

R^3 hydrogen, C_{1-4} alkyl, C_{3-4} alkenyl, C_{3-4} alkynyl, C_{2-4} hydroxyalkyl C_{2-4} halogenoalkyl or C_{1-4} cyanoalkyl;

20 and Ar is phenylene, thiophenediyl, thiazolediyl, pyridinediyl or pyrimidine-diyl which may optionally bear one or two substituents selected from halogeno, hydroxy, amino, nitro, cyano, trifluoromethyl, C_{1-4} alkyl and C_{1-4} alkoxy;

or a pharmaceutically-acceptable salt or ester thereof.